

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference 09450	FOR FURTHER ACTION		see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.
International application No. PCT/JP 02/ 00829	International filing date (day/month/year) 01/02/2002	(Earliest) Priority Date (day/month/year) 02/02/2001	
Applicant MITSUBISHI PHARMA CORPORATION			

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 9 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

b. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out on the basis of the sequence listing :

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☒ Certain claims were found unsearchable (See Box I).

3. ☒ Unity of invention is lacking (see Box II).

4. With regard to the title,

☒ the text is approved as submitted by the applicant.

☐ the text has been established by this Authority to read as follows:

5. With regard to the abstract,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the drawings to be published with the abstract is Figure No.

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☐ None of the figures.

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International application No.
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Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☒ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1 (in part), 2, 3-6 (in part), 7, 8 (in part),
9 (in part), 10, 11-18 (in part)

Compounds of formula I, where R5 is C2-C8 alkyl, cycloalkyl, alkoxyalkyl, phenoxyalkyl, hydroxyalkyl, phenyl optially substituted, an aromatic heterocyclic group or phenylalkyl, and pharmaceutical compositions containing them.

2. Claims: 1, 3-6, 8, 9, 11-18 (all of them in part)

Compounds of formula I, where R5 is hydrogen, cyano, formyl, methyl, alkyl of more than 8C atoms, dialkoxyalkyl, haloalkyl, carboxyalkyl, cycloalkoxyalkyl, phenylthio, alkylsulfinyl, alkylsulfonyl, phenylsulfonyl, mercaptoalkyl, alkylthioalkyl, alkoxycarbonylalkyl, alkoxycarbonylethenyl, optionally substituted aryl but excluding phenyl, or a group derived from a 5 to 7 membered saturated or unsaturated carbocyclic ring containing 1 to 3 heteroatom(s), which is fused with a benzene ring and pharmaceutical compositions containing them.

3. Claims: 1, 11-18 (all of them in part)

Compounds of formula I where R4 and R5 together form a 5 or 6 membered ring optionally containing heteroatom(s) and pharmaceutical compositions containing them.

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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/04 A61K31/437 A61P25/00 //(C07D471/04,231:00,
221:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 3 790 576 A (DE WALD H) 5 February 1974 (1974-02-05) column 7, line 50 -column 8, line 75; examples 1,2	1,2,11, 12,15,16
X	WO 92 03137 A (CHILDRENS MEDICAL CENTER) 5 March 1992 (1992-03-05) claims 1,4,5	1,2,11, 12,15,16
X	EP 0 107 619 A (SHIONOGI & CO) 2 May 1984 (1984-05-02) page 1, paragraph 2 -page 2, line 5; claim 1; examples 1-41	1,11,12, 16
X	EP 0 157 260 A (SHIONOGI & CO) 9 October 1985 (1985-10-09) page 1, paragraph 1; claim 1; example 16	1,11,12, 16
	--- -/--	



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

A document defining the general state of the art which is not considered to be of particular relevance

E earlier document but published on or after the international filing date

L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

O document referring to an oral disclosure, use, exhibition or other means

P document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

Z document member of the same patent family

Date of the actual completion of the international search

5 December 2002

Date of mailing of the international search report

07.01.2003

Name and mailing address of the ISA

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Alfaro Faus, I

INTERNATIONAL SEARCH REPORT

International Application No

PCT/JP 02/00829

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	GB 2 146 326 A (SHIONOGI & CO) 17 April 1985 (1985-04-17) examples 8,9,13 ----	1,11,12, 16
X	EP 0 327 500 A (SANDOZ) 9 August 1989 (1989-08-09) example 1, step 3; example 2, step 3 ----	1,2,7
X	DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; QUIROGA, JAIRO ET AL: "Synthesis of 5-cyano-4,7-dihydropyrazolo'3,4-b!pyridin- 4-ones and 5-cyanopyrazolo'3,4-b!pyridin-4-ones in one-step by the reaction of 5-aminopyrazolone with benzaldehyde and benzoylacetonitrile in ethanol and by microwave radiation in dry media" retrieved from STN Database accession no. 133:321830 XP002210013 RNs 303049-48-1, 303049-49-2, 303049-50-5, 303049-51-6, 303049-52-7, 303049-53-8 & HETEROCYCLIC COMMUNICATIONS (2000), 6(3), 275-282, ----	1,2,7
X	EP 0 114 273 A (LEPETIT SPA) 1 August 1984 (1984-08-01) claims 1,10; examples 1-56 ----	1,11,12
X	IKUO ADACHI ET AL.: "Studies on dihydropyridines. Synthesis of 4,7-dihydropyrazolo'3,4-b!pyridines with vasodilating and antihypertensive activities" CHEMICAL AND PHARMACEUTICAL BULLETIN., vol. 35, no. 8, 1987, pages 3235-3252, XP002223826 PHARMACEUTICAL SOCIETY OF JAPAN. TOKYO., JP ISSN: 0009-2363 compounds 72-79,81-98,102,103,107-111,113,114,144, 145, 147-150 abstract ----	1,11,12
X	EP 0 355 234 A (MERRELL DOW PHARMA) 28 February 1990 (1990-02-28) page 5, line 10 - line 40; example 1 ----- -/--	1,11,12

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International Application No

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; TROSCHUETZ, REINHARD: "Synthesis of pyrido'2,3-d!pyrimidines with a trimethoprim partial structure" retrieved from STN Database accession no. 111:115132 XP002210014 RN 12232-30-5 & ARCH. PHARM. (WEINHEIM, GER.) (1989), 322(5), 285-90,</p>	1,2,7
X	<p>--- DATABASE CAPLUS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; ATTABY, F.: "Reactions with cyanothioacetamide derivatives: synthesis of several new pyridine and annulated pyridine derivatives" retrieved from STN Database accession no. 114:228684 XP002223827 RN = 133707-47-8 abstract & ARCHIVES OF PHARMACAL RESEARCH (1990), 13(4), 342-6,</p>	1
X	<p>--- DATABASE CAPLUS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; DYACHENKO ET AL.: "Synthesis and properties of N- methylmorpholinium-6-amino-3,5-dicyano-1,4 -dihydropyridine-4-spirocyclopentane-2-thi olate" retrieved from STN Database accession no. 128:140675 XP002223828 RN = '202350-12-7! & RUSSIAN CHEMICAL BULLETIN (1997), 46 (10), 1755-1757,</p>	1
A	<p>--- WO 99 65897 A (CHIRON CORP) 23 December 1999 (1999-12-23) abstract --- -/--</p>	1,13-18

INTERNATIONAL SEARCH REPORT

International Application No

PCT/JP 02/00829

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	QUIROGA, JAIRO ET AL: "Synthesis and structural analysis of 5-cyanodihydropyrazolo'3,4- b!pyridines" JOURNAL OF HETEROCYCLIC CHEMISTRY (2001), 38(1), 53-60, XP002210012 table 1, compounds 3/4a-k	1,2,7
P,X	WO 01.66544 A (ABBOTT LAB) 13 September 2001 (2001-09-13) examples 1-5,7-44,48 and 32A claims 1,49	1,11,12, 15
P,X	QUIROGA J ET AL: "Regioselective synthesis of 4,7,8,9-tetrahydro-2H-pyrazolo'3,4-b!quin olin-5(6H)-ones. Mechanism and structural analysis" TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 57, no. 32, 6 August 2001 (2001-08-06), pages 6947-6953, XP004275098 ISSN: 0040-4020 compounds of formula 4	1
P,A	WO 01 81345 A (WELFIDE) 1 November 2001 (2001-11-01) abstract	1,2,13

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 02/00829

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 3790576	A	05-02-1974	NONE	
WO 9203137	A	05-03-1992	EP 0557290 A1 JP 6500554 T US 5506231 A WO 9203137 A1	01-09-1993 20-01-1994 09-04-1996 05-03-1992
EP 0107619	A	02-05-1984	JP 1639855 C JP 3006151 B JP 59065089 A AU 568092 B2 AU 1990283 A DE 3372770 D1 DK 457583 A ,B, EP 0107619 A1 ES 8502993 A1 GB 2128186 A ,B KR 9006448 B1 US 4873334 A	18-02-1992 29-01-1991 13-04-1984 17-12-1987 12-04-1984 03-09-1987 06-04-1984 02-05-1984 01-05-1985 26-04-1984 31-08-1990 10-10-1989
EP 0157260	A	09-10-1985	JP 1751089 C JP 4037834 B JP 60197685 A AU 575134 B2 AU 4007085 A DE 3578177 D1 DK 122385 A EP 0157260 A1 ES 8602787 A1 GB 2156348 A ,B KR 9106646 B1 US 4873334 A	08-04-1993 22-06-1992 07-10-1985 21-07-1988 26-09-1985 19-07-1990 20-09-1985 09-10-1985 16-03-1986 09-10-1985 30-08-1991 10-10-1989
GB 2146326	A	17-04-1985	JP 1751068 C JP 4037833 B JP 60056979 A CH 661272 A5 DE 3432985 A1 FR 2551756 A1 KR 9102838 B1 US 4562256 A	08-04-1993 22-06-1992 02-04-1985 15-07-1987 28-03-1985 15-03-1985 06-05-1991 31-12-1985
EP 0327500	A	09-08-1989	US 4822799 A EP 0327500 A2 JP 1272584 A	18-04-1989 09-08-1989 31-10-1989
EP 0114273	A	01-08-1984	AT 69227 T AU 612356 B2 AU 1470088 A AU 574654 B2 AU 2227083 A DE 3382452 D1 DK 582083 A EP 0114273 A1 ES 8601987 A1 ES 8506016 A1 FI 834661 A ,B, GR 79111 A1	15-11-1991 11-07-1991 14-07-1988 14-07-1988 28-06-1984 12-12-1991 21-06-1984 01-08-1984 01-03-1986 16-10-1985 21-06-1984 02-10-1984

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 02/00829

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0114273 A		IE 57305 B1	15-07-1992
		IL 70445 A	30-12-1988
		JP 6060181 B	10-08-1994
		JP 59118786 A	09-07-1984
		KR 8902227 B1	24-06-1989
		NO 834695 A ,B,	21-06-1984
		NZ 206626 A	12-11-1986
		PH 20896 A	27-05-1987
		PT 77850 A ,B	01-01-1984
		US 4954508 A	04-09-1990
		ZA 8309092 A	25-07-1984
EP 0355234 A	28-02-1990	EP 0355234 A1	28-02-1990
		AU 619884 B2	06-02-1992
		AU 4017289 A	01-03-1990
		DK 418589 A	26-02-1990
		JP 2142728 A	31-05-1990
		ZA 8906327 A	30-05-1990
WO 9965897 A	23-12-1999	AU 4956699 A	05-01-2000
		CN 1312807 T	12-09-2001
		EP 1087963 A1	04-04-2001
		WO 9965897 A1	23-12-1999
		US 6417185 B1	09-07-2002
WO 0166544 A	13-09-2001	US 2002007059 A1	17-01-2002
		EP 1259510 A2	27-11-2002
		WO 0166544 A2	13-09-2001
WO 0181345 A	01-11-2001	AU 4878601 A	07-11-2001
		WO 0181345 A1	01-11-2001

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 021555wo/AvK/sur	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) FOR FURTHER ACTION	
International application No. PCT/JP02/00829	International filing date (<i>day/month/year</i>) 01/02/2002	Priority date (<i>day/month/year</i>) 02/02/2001
International Patent Classification (IPC) or national classification and IPC C07D471/04		
Applicant MITSUBISHI PHARMA CORPORATION et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.


2. This REPORT consists of a total of 6 sheets, including this cover sheet.

- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 05/07/2002	Date of completion of this report 27.05.2003
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Ousset, J-B Telephone No. +49 89 2399 8271



INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/JP02/00829

I. Basis of the report

1. With regard to the elements of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, pages:

1-217 as originally filed

Claims, No.:

1-18 as originally filed

Drawings, sheets:

1/3-3/3 as originally filed

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/JP02/00829

☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	
	No: Claims	1-18
Inventive step (IS)	Yes: Claims	
	No: Claims	1-18
Industrial applicability (IA)	Yes: Claims	1-18
	No: Claims	

2. Citations and explanations
see separate sheet

SECTION V

1). Relevant prior art is represented by:

- D1: US-A-3 790 576 (DE WALD H) 5 February 1974 (1974-02-05)
D2: WO 92 03137 A (CHILDRENS MEDICAL CENTER) 5 March 1992 (1992-03-05)
D3: EP-A-0 107 619 (SHIONOGI & CO) 2 May 1984 (1984-05-02)
D4: EP-A-0 157 260 (SHIONOGI & CO) 9 October 1985 (1985-10-09)
D5: GB-A-2 146 326 (SHIONOGI & CO) 17 April 1985 (1985-04-17)
D6: EP-A-0 327 500 (SANDOZ) 9 August 1989 (1989-08-09)
D7: DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; QUIROGA, JAIRO ET AL: 'Synthesis of 5-cyano-4,7-dihydropyrazolo[3,4-b]pyridin- 4-ones and 5-cyanopyrazolo[3,4-b]pyridin-4- ones in one-step by the reaction of 5-aminopyrazolone with benzaldehyde and benzoylacetone nitrile in ethanol and by microwave radiation in dry media' retrieved from STN Database accession no. 133:321830 XP002210013 & HETEROCYCLIC COMMUNICATIONS (2000), 6(3), 275-282,
D8: EP-A-0 114 273 (LEPETIT SPA) 1 August 1984 (1984-08-01)
D9: IKUO ADACHI ET AL.: 'Studies on dihydropyridines. Synthesis of 4,7-dihydropyrazolo[3,4-b]pyridines with vasodilating and antihypertensive activities' CHEMICAL AND PHARMACEUTICAL BULLETIN., vol. 35, no. 8, 1987, pages 3235-3252, XP002223826 PHARMACEUTICAL SOCIETY OF JAPAN. TOKYO., JP ISSN: 0009-2363
D10: EP-A-0 355 234 (MERRELL DOW PHARMA) 28 February 1990 (1990-02-28)
D11: DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; TROSCHUETZ, REINHARD: 'Synthesis of pyrido[2,3-d]pyrimidines with a trimethoprim partial structure' retrieved from STN Database accession no. 111:115132 XP002210014 & ARCH. PHARM. (WEINHEIM, GER.) (1989), 322(5), 285-90,
D12: DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; ATTABY, F.: 'Reactions with cyanothioacetamide derivatives: synthesis of several new pyridine and annulated pyridine derivatives' retrieved from STN Database accession no. 114:228684 XP002223827 &

- ARCHIVES OF PHARMACAL RESEARCH (1990), 13(4), 342-6,
D13: DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE,
COLUMBUS, OHIO, US; DYACHENKO ET AL.: 'Synthesis and properties of N-
methylmorpholinium-6-amino-3,5-dicyano-1,4 - dihydropyridine-4-
spirocyclopentane-2-thiolate' retrieved from STN Database accession no.
128:140675 XP002223828 & RUSSIAN CHEMICAL BULLETIN (1997), 46 (10),
1755-1757,
D14: WO 99 65897 A (CHIRON CORP) 23 December 1999 (1999-12-23)
D15: QUIROGA, JAIRO ET AL: 'Synthesis and structural analysis of 5-
cyanodihydropyrazolo[3,4-b]pyridines' JOURNAL OF HETEROCYCLIC
CHEMISTRY (2001), 38(1), 53-60, XP002210012
D16: WO 01 66544 A (ABBOTT LAB) 13 September 2001 (2001-09-13)
D17: QUIROGA J ET AL: 'Regioselective synthesis of 4,7,8,9-tetrahydro-
2H-pyrazolo[3,4-b]quinolin-5(6H)-ones. Mechanism and structural analysis'
TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol.
57, no. 32, 6 August 2001 (2001-08-06), pages 6947-6953, XP004275098 ISSN:
0040-4020
D18: WO 01 81345 A (WELFIDE) 1 November 2001 (2001-11-01)

2). D1-D13 disclose compounds falling within the scope of the current claims.
Novelty is therefore not acknowledged.

3). Since none of the novelty-destroying documents discloses compounds having the
same qualitative properties as those of the present application, D14 is regarded as the
structurally closest prior art.

The main structural difference between the claimed compounds and those of D14 lies
in the fact that the groups R_6 and R_7 of D14 cannot form a pyrazolyl ring.

Thus, the problem underlying the current application appears to be the provision of
further heterocyclic compounds which can be used to treat diabetes.

The data provided in the description show that this problem has been solved.
In view of the noticeable structural difference between the claimed compounds and

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/JP02/00829

those of D14, the skilled person would not arrive at the claimed compounds without inventive skills.

However, due to the numerous unlimited terms present in the claims, it is inherently impossible that all the claimed alternatives lead to compounds which retain the claimed activity.

Thus, an inventive step on the whole scope is not acknowledged.

4). No objection with regard to industrial applicability.